(1)

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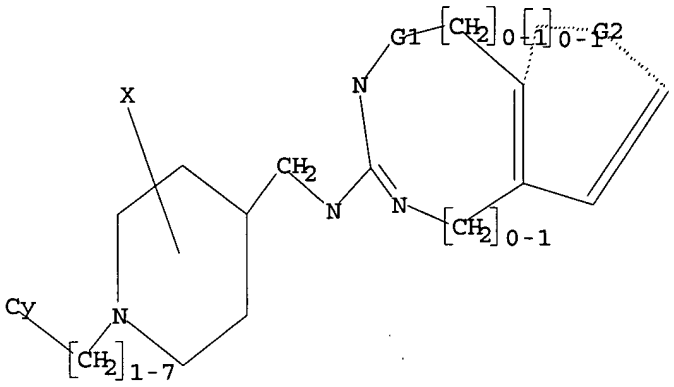

G1 C,S,CH2,SO2

G2 C,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      110 TO ITERATE

100.0% PROCESSED      110 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1571 TO     2829
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:41:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2477 TO ITERATE

100.0% PROCESSED     2477 ITERATIONS                                 38 ANSWERS
SEARCH TIME: 00.00.01

L3            38 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.10     172.31

FILE 'CAPLUS' ENTERED AT 09:41:11 ON 08 JAN 2007
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FILE COVERS 1907 - 8 Jan 2007 VOL 146 ISS 3
FILE LAST UPDATED: 7 Jan 2007 (20070107/ED)

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http://www.cas.org/infopolicy.html

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L4 1 L3

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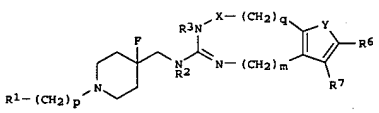
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:875280 CAPLUS
DOCUMENT NUMBER: 139:364964
TITLE: Preparation of 4,4-disubstituted piperidine derivatives having Cys-cysteine chemokine receptor-3 (CCR3) antagonism
INVENTOR(S): Matsumoto, Yoshiyuki; Imai, Minoru; Sawai, Yoshiyuki; Takeuchi, Susumu; Nakanishi, Akinobu; Minamizono, Kunio; Yokoyama, Tomonori
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 443 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091245	A1	20031106	WO 2003-JP4842	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483504	A1	20031106	CA 2003-2483504	20030416
AU 2003231360	A1	20031110	AU 2003-231360	20030416
EP 1505067	A1	20050209	EP 2003-725593	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1665804	A	20050907	CN 2003-814528	20030416
PRIORITY APPLN. INFO.:			JP 2002-123883	A 20020425
			JP 2002-240508	A 20020821
			WO 2003-JP4842	W 20030416

OTHER SOURCE(S): MARPAT 139:364964
GI



I

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB It is intended to provide low-mol. weight compds. having an activity of inhibiting the binding of a CCR3 ligand such as eotaxin to CCR3 on a target cell, i.e., CCR3 antagonists. Namely 4,4-disubstituted piperidine containing benzimidazole, benzo[e][1,2,4]thiadiazine, and quinazoline derivs.
represented by the following general formula (I) [wherein R1 = each (un)substituted Ph, C3-8 cycloalkyl, aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S, and N; p = an integer of 1-6; R2, R3 = H, each (un)substituted C1-6 alkyl or Ph; X = CO, SO2, CH2, C(S),a single bond; m, q = 0,1; Y = (R4)CH:CH(R5), S, NR8; R4-R7 = H, halo, HO, cyano, NO2, CO2H, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl,
C1-6 alkoxy, C1-6 alkylthio, C3-5 alkylene, C2-4 alkyleneoxy, C1-3 alkylenedioxy, Ph, PhO, phenylthio, phenylsulfonyl, benzyl, benzyloxy, benzoylamino, CHO, or C2-7 alkanoyl, etc.; R8 = H, (un)substituted C1-6 alkyl], pharmaceutically acceptable acid addition salts thereof, or pharmaceutically acceptable C1-6 alkyl adducts thereof are prepared Also disclosed are medicinal compns. having CCR3 antagonism and effects of treating and/or preventing diseases in which CCR3 participates which contain the compound I as the active ingredient. The above diseases include
(1) allergic diseases such as asthma, allergic nephritis, atopic dermatitis, urticaria, contact dermatitis, and allergic conjunctivitis, (2) inflammatory enteric disease, (3) AIDS, and (4) eosinophilia (acidocytosis), eosinophilic gastroenteritis, eosinophilic intestinal diseases, eosinophilic fascia inflammation, eosinophilic granuloma, eosinophilic pustulous hair follicle inflammation, eosinophilic pneumonia,
or eosinophilic leukemia. Thus, a solution of 30 mg 2-[[(4-fluoro-4-piperidyl)methyl]amino]benzimidazole-5-carboxylic acid Me ester hydrochloride in 1.0 mL DMF-AcOH (10:1) was treated with 57.3 mg 3,5-dichloro-2-hydroxybenzaldehyde and 64 mg sodium triacetoxyborohydride,
stirred at room temperature overnight, quenched by adding 1.0 mL MeOH, and
stirred for 1 h, followed by purification using a cation exchange resin SCX
cartridge (Bond Elut SCX500MG, Varian Inc.) to give 11% 2-[[(1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidyl]methyl]amino]benzimidazole-5-carboxylic acid Me ester (II). II in vitro inhibited the eotaxin-induced increase in cellular calcium ion concentration in K562 cells expressing CCR3 receptor by 80% at 2 μM.
IT 620610-89-1P 620610-90-4P 620610-91-5P
620610-92-6P 620610-93-7P 620610-94-8P
620610-95-9P 620610-96-0P 620610-97-1P
620610-98-2P 620610-99-3P 620611-00-9P
620611-01-0P 620611-02-1P 620611-03-2P
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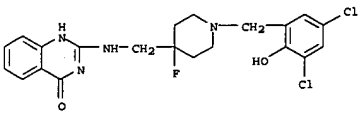
620611-16-7P 620611-17-8P 620611-18-9P
620611-19-0P 620611-20-3P 620611-21-4P

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
620611-22-5P 620611-23-6P 620611-24-7P
620611-25-8P 620611-26-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,4-disubstituted piperidine derivs. as Cys-cysteine chemokine receptor-3 (CCR3) antagonists for treating and/or preventing diseases involving CCR3)
RN 620610-89-1 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 620610-90-4 CAPLUS
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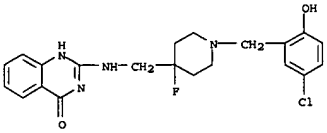
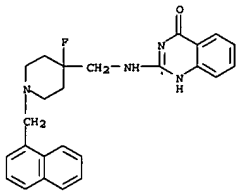


RN 620610-91-5 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[4-fluoro-1-(1-naphthalenylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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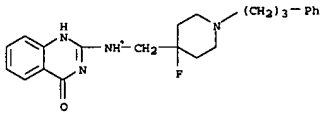
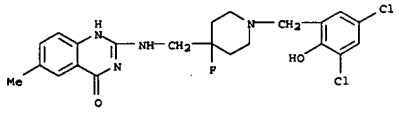
CN 4(1H)-Quinazolinone, 2-[[[4-fluoro-1-(3-phenylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

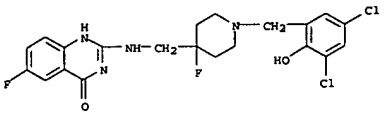


RN 620610-93-7 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-methyl- (9CI) (CA INDEX NAME)

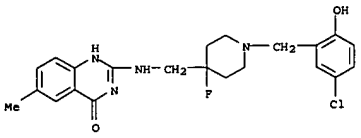


RN 620610-94-8 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-fluoro- (9CI) (CA INDEX NAME)

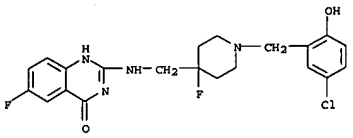
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620610-95-9 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(5-chloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-methyl- (9CI) (CA INDEX NAME)

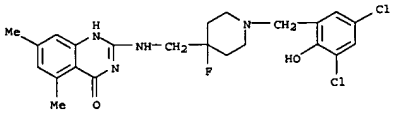


RN 620610-96-0 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(5-chloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-fluoro- (9CI) (CA INDEX NAME)

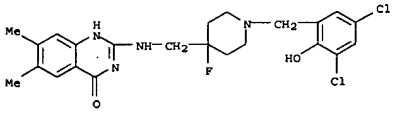


RN 620610-97-1 CAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

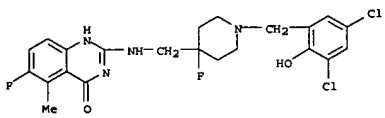
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



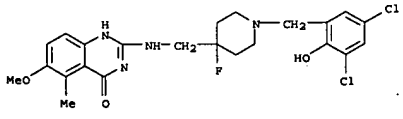
RN 620611-01-0 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6,7-dimethyl- (9CI) (CA INDEX NAME)



RN 620611-02-1 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-fluoro-5-methyl- (9CI) (CA INDEX NAME)

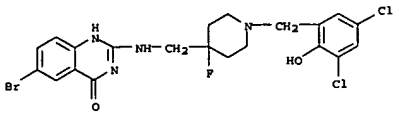


RN 620611-03-2 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-methoxy-5-methyl- (9CI) (CA INDEX NAME)

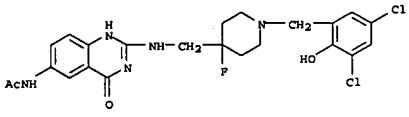


RN 620611-04-3 CAPLUS

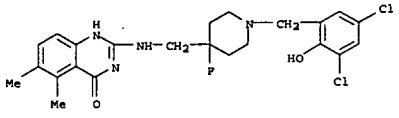
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620610-98-2 CAPLUS
CN Acetamide, N-[2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-1,4-dihydro-4-oxo-6-quinazolinyl]- (9CI) (CA INDEX NAME)

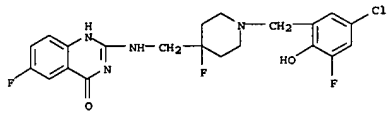


RN 620610-99-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-5,6-dimethyl- (9CI) (CA INDEX NAME)

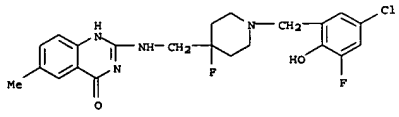


RN 620611-00-9 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-5,7-dimethyl- (9CI) (CA INDEX NAME)

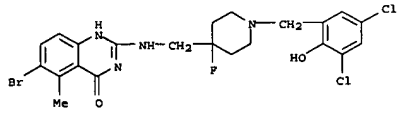
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-05-4 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(5-chloro-3-fluoro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-methyl- (9CI) (CA INDEX NAME)

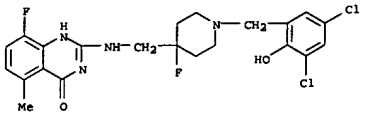


RN 620611-06-5 CAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

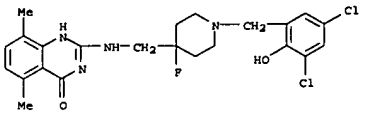


RN 620611-07-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-8-fluoro-5-methyl- (9CI) (CA INDEX NAME)

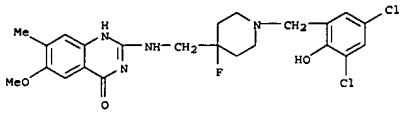
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-08-7 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-5,8-dimethyl- (9CI) (CA INDEX NAME)

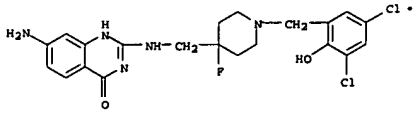


RN 620611-09-8 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-methoxy-7-methyl- (9CI) (CA INDEX NAME)



RN 620611-10-1 CAPLUS
CN 4(1H)-Quinazolinone, 7-amino-2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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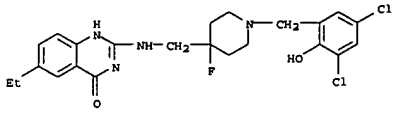
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-ethyl- (9CI) (CA INDEX NAME)



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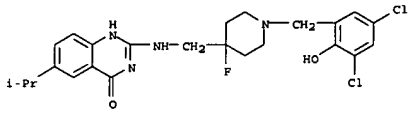
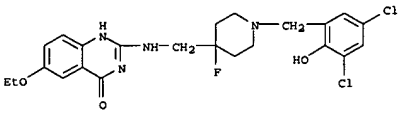
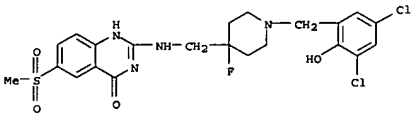


RN 620611-13-4 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-ethoxy- (9CI) (CA INDEX NAME)

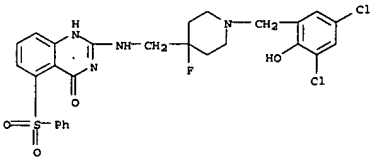
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-14-5 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-6-(methylsulfonyl)- (9CI) (CA INDEX NAME)

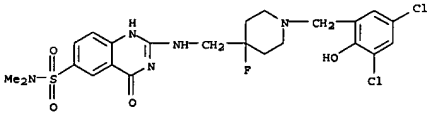


RN 620611-15-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

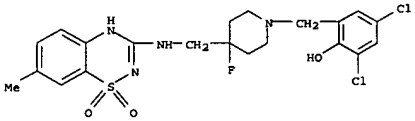


RN 620611-16-7 CAPLUS
CN 6-Quinazolinesulfonamide, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-1,4-dihydro-N,N-dimethyl-4-oxo- (9CI) (CA INDEX NAME)

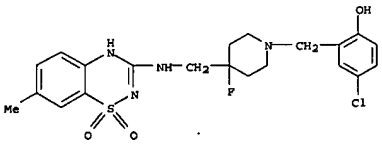
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-17-8 CAPLUS
CN Phenol, 2,4-dichloro-6-[[4-fluoro-4-[[(7-methyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

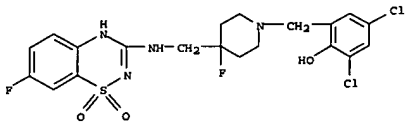


RN 620611-18-9 CAPLUS
CN Phenol, 4-chloro-2-[[4-fluoro-4-[[(7-methyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

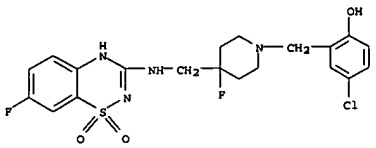


RN 620611-19-0 CAPLUS
CN Phenol, 2,4-dichloro-6-[[4-fluoro-4-[[(7-fluoro-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

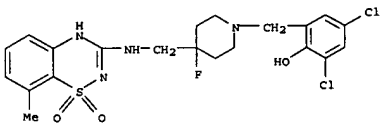
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-20-3 CAPLUS
CN Phenol, 4-chloro-2-[[4-fluoro-4-[[(7-fluoro-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

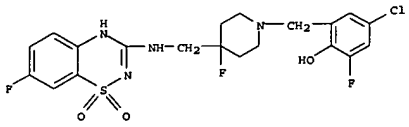


RN 620611-21-4 CAPLUS
CN Phenol, 2,4-dichloro-6-[[4-fluoro-4-[[(8-methyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

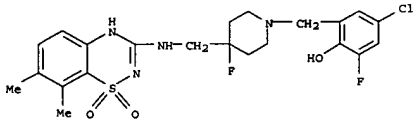


RN 620611-22-5 CAPLUS
CN Phenol, 4-chloro-2-[[4-fluoro-4-[[(8-methyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

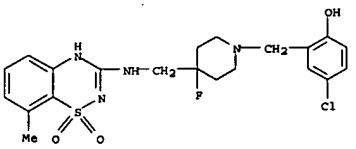


RN 620611-26-9 CAPLUS
CN Phenol, 4-chloro-2-[[4-[[(7,8-dimethyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-4-fluoro-1-piperidinyl]methyl]-6-fluoro- (9CI) (CA INDEX NAME)

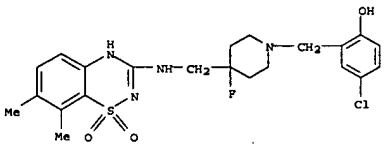


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

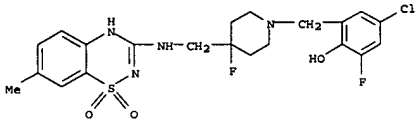
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620611-23-6 CAPLUS
CN Phenol, 4-chloro-2-[[4-[[(7,8-dimethyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-4-fluoro-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 620611-24-7 CAPLUS
CN Phenol, 4-chloro-2-fluoro-6-[[4-fluoro-4-[[(7-methyl-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 620611-25-8 CAPLUS
CN Phenol, 4-chloro-2-fluoro-6-[[4-fluoro-4-[[(7-fluoro-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

(2)

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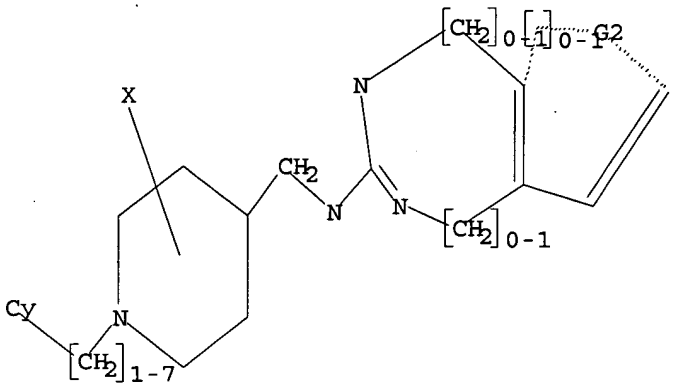
L1 STR



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Structure attributes must be viewed using STN Express query preparation.

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
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L3 6 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 09:45:43 ON 08 JAN 2007
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L4 2 L3

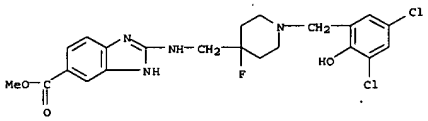
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1016895 CAPLUS
DOCUMENT NUMBER: 143:415586
TITLE: G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation
AUTHOR(S): Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic
CORPORATE SOURCE: Cerep, Rueil-Malmaison, 92500, Fr.
SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6563-6574
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A QSAR model accounting for "average" G-protein-coupled receptor (GPCR) binding was built from a large set of exptl. standardized binding data (1939 compds. systematically tested over 40 different GPCRs) and applied to the design of a library of "GPCR-predicted" compds. Three hundred and sixty of these compds. were randomly selected and tested in 21 GPCR binding assays. Positives were defined by their ability to inhibit by more than 70% the binding of reference compds. at 10 μM. A 5.5-fold enrichment in positives was observed when comparing the "GPCR-predicted" compds. with 600 randomly selected compds. predicted as "non-GPCR" from a general collection. The model was efficient in predicting strongest binders, since enrichment was greater for higher cutoffs. Significant enrichment was also observed for peptidic GPCRs and receptors not included to develop the QSAR model, suggesting the usefulness of the model to design ligands binding with newly identified GPCRs, including orphan ones.

IT 620610-83-5
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR design, synthesis, and exptl. validation of G-protein-coupled receptor affinity prediction based on use of a profiling dataset)

RN 620610-83-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:875280 CAPLUS
DOCUMENT NUMBER: 139:364964
TITLE: Preparation of 4,4-disubstituted piperidine derivatives having Cys-cysteine chemokine receptor-3 (CCR3) antagonism
INVENTOR(S): Matsumoto, Yoshiyuki; Imai, Minoru; Sawai, Yoshiyuki; Takeuchi, Susumu; Nakanishi, Akinobu; Minamizono, Kunio; Yokoyama, Tomonori
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 443 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091245	A1	20031106	WO 2003-JP4842	20030416
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CA 2483504	A1	20031106	CA 2003-2483504	20030416
AU 2003231360	A1	20031110	AU 2003-231360	20030416
EP 1505067	A1	20050209	EP 2003-725593	20030416
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CN 1665804	A	20050907	CN 2003-814528	20030416
PRIORITY APPLN. INFO.:			JP 2002-123883	A 20020425
			JP 2002-240508	A 20020821
			WO 2003-JP4842	W 20030416

OTHER SOURCE(S): MARPAT 139:364964
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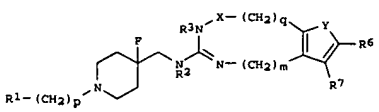


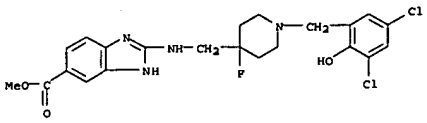
I

AB It is intended to provide low-mol. weight compds. having an activity of inhibiting the binding of a CCR3 ligand such as eotaxin to CCR3 on a target cell, i.e., CCR3 antagonists. Namely 4,4-disubstituted piperidine contg. benzimidazole, benzo[e][1,2,4]thiadiazine, and quinazoline derivs. represented by the following general formula (I) [wherein R1 = each (un)substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and N; p = an integer of 1-6; R2, R3 = H, each (un)substituted C1-6 alkyl or Ph; X = CO, SO2, CH2, C(S),a single bond; m, q = 0,1; Y = (R4)CH:CH(R5), S, NR8; R4-R7 = H, halo, HO, cyano, NO2, CO2H, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl, C1-6 alkoxy, C1-6 alkylthio, C3-5 alkylene, C2-4 alkyleneoxy, C1-3 alkylenedioxy, Ph, PhO, phenylthio, phenylsulfonyl, benzyl, benzyloxy, benzoylamino, CHO, or C2-7 alkanoyl, etc.; R8 = H, (un)substituted C1-6 alkyl], pharmaceutically acceptable acid addn. salts thereof, or pharmaceutically acceptable C1-6 alkyl adducts thereof are prepd. Also disclosed are medicinal compns. having CCR3 antagonism and effects of treating and/or preventing diseases in which CCR3 participates which contain the compd. I as the active ingredient. The above diseases include (1) allergic diseases such as asthma, allergic nephritis, atopic dermatitis, urticaria, contact dermatitis, and allergic conjunctivitis, (2) inflammatory enteric disease, (3) AIDS, and (4) eosinophilia (acidocytosis), eosinophilic gastroenteritis, eosinophilic intestinal diseases, eosinophilic fascia inflammation, eosinophilic granuloma, eosinophilic pustulous hair follicle inflammation, eosinophilic pneumonia, or eosinophilic leukemia. Thus, a soln. of 30 mg 2-[[(4-fluoro-4-piperidyl)methyl]amino]benzimidazole-5-carboxylic acid Me ester hydrochloride in 1.0 mL DMF-AcOH (10:1) was treated with 57.3 mg 3,5-dichloro-2-hydroxybenzaldehyde and 64 mg sodium triacetoxyborohydride, stirred at room temp. overnight, quenched by adding 1.0 mL MeOH, and stirred for 1 h, followed by purifn. using a cation exchange resin SCX cartridge (Bond Elut SCX500MG, Varian Inc.) to give 11% 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl]methyl]-4-fluoro-4-piperidyl]methyl]amino]benzimidazole-5-carboxylic acid Me ester (II). II in vitro inhibited the eotaxin-induced increase in cellular calcium ion concn. in K562 cells expressing CCR3 receptor by 80% at 2 μM.

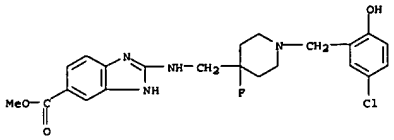
IT 620610-83-5P 620610-84-6P 620610-85-7P 620610-86-8P 620610-87-9P 620610-88-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4,4-disubstituted piperidine derivs. as Cys-cysteine chemokine receptor-3 (CCR3) antagonists for treating and/or preventing diseases involving CCR3)

RN 620610-83-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

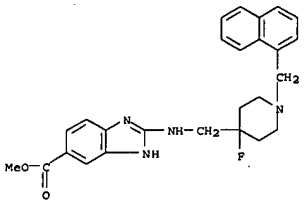
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620610-84-6 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[1-[(5-chloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

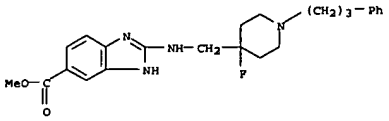


RN 620610-85-7 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[4-fluoro-1-(1-naphthalenylmethyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

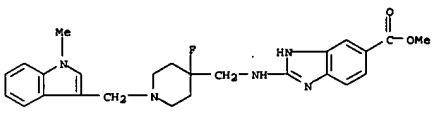


RN 620610-86-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[4-fluoro-1-(3-phenylpropyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

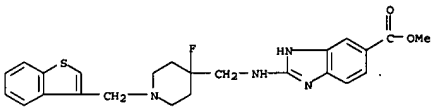
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 620610-87-9 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[4-fluoro-1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 620610-88-0 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[[[1-(benzo[b]thien-3-ylmethyl)-4-fluoro-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT